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New structural elements which include prenyl derivatives - are useful in preparation of epothilones and their derivatives which are inhibitors of mitosis and cytotoxic agents and fungicides

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Abstract (Basic): DE 19713970 A

Structural elements of formula (IV), which are especially useful for synthesis of epothilones and their derivatives, are new. G = R, Y, or a group of formula (i) or (ii): B1 = single or double bond which may be in the E-(trans)-form, the Z-(cis)-form or in the form of an E/Z mixture; B2, B3 = B1; or B2+B3 = epoxide or cyclopropane ring in the E-(trans)-form, the Z-(cis)-form or in the form of an E/Z mixture; R = H, alkyl, aryl, alkylaryl, vinyl, 3-7C cycloalkyl, alkoxy, CHnF3-n, and/or 3-7 membered oxacycloalkyl; in (ii) R may also be halo; Y, Y' = at a single bond, M, O-M, O-R, O-PG, NM2-nPGn, NM2-nRn, NH-NM2-nPGn, NH-NM2-nRn, S-M, S-R, SR2+, S-PG, PR3+ or X; or at a double bond, M, O, S, N-M, N-R, N-PG, N-NM2-nPGn, N-N(M)(R) or PR3; or Y+Y' = N; M = H, B-Y2, metal cation and/or non-metallic cation; n = 0-3; X = halo or another conventional leaving group; alkyl groups in the above contain 1-8C atoms; aryl groups in the above represent phenyl, naphthyl or a 5-6-membered heterocyclic group (containing one or more N, O or S), (all optionally substituted by 1-5 alkyl, alkoxy or halo); and PG = protecting group.

USE - Epothilones are natural materials with biological activity e.g. as inhibitors of mitosis, or as cytotoxic agents or fungicides. They have similar activity to paclitaxel and may even be more active. (IV) may be used as building blocks in production of epothilones, their derivatives, analogues and homologues.

ADVANTAGE - The appropriate choice of starting materials allows predetermination of the stereochemistry at the C12-C13 and C16-C17 positions of the epothilone. Isomer separation steps and stereoselective construction of the desired compound can be avoided.

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